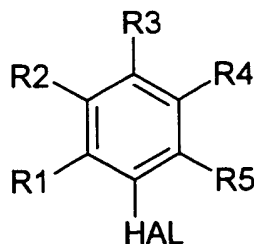


Patent Claims

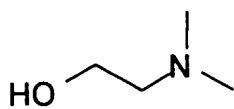
1. Process for the nucleophilic substitution on activated aromatics of the general formula XIV



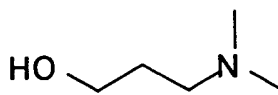
XIV

in which R1, R2, R3, R4 and R5 are the same or different and signify a hydrogen atom, a nitro group, a cyano group, an alkoxycarbonyl group with up to 5 C atoms, an aldehyde group, an alkylcarbonyl group with up to 5 C-atoms, an arylcarbonyl group or an amide group, whereby the radicals R1 to R5 cannot all simultaneously be a hydrogen atom and HAL stands for a halogen atom, with nucleophils, such as alcohols, amines, sulfoxides, CH-acidic compounds of the formulae V to XI

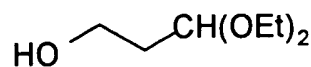
Figure1



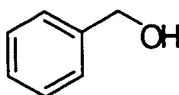
V



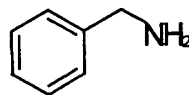
VI



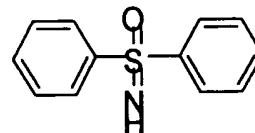
VII



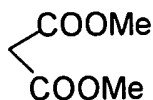
VIII



IX



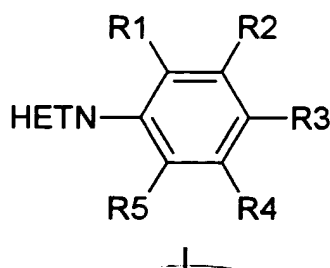
X



XI

in dipolar aprotic solvents in the presence of caesium carbonate at room temperature.

2. Process according to claim 1 for the preparation of compounds of the general formula I

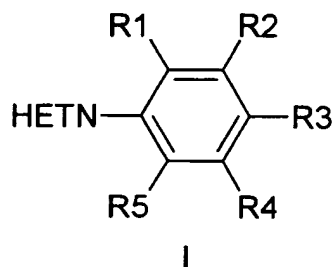


in which HETN signifies an aromatic aza-heterocycle with, in all, 5 or 6 ring atoms, whereby up to 3 ring atoms can be nitrogen atoms and up to two further aromatic carbon rings can be condensed on to the heterocycle and R1, R2, R3, R4 and R5 have the above given meaning.

3. Process according to claim 1 or 2, characterised in that the solvent is acetone, acetonitrile, dimethylsulphoxide, dimethylacetamide, N-methylpyrrolidone or dimethylformamide.
4. Process according to claim 1 or 2, characterised in that the solvent is dimethylformamide.
5. Process according to claim 1 or 2, characterised in that HAL in the general formula XIV is a fluorine atom.

Summary

The invention concerns a process for the preparation of N-aryl-aza-heterocycles of the general formula I



by reaction of aza-heterocycles with activated aryl halides with use of caesium carbonate without addition of further catalysts at room temperature.